L1 ANSWER 10 OF 10 WPIX COPYRIGHT 2007 THE THOMSON CORP on STN
ACCESSION NUMBER: 1998-251866 [23] WPIX
CROSS REFERENCE: 1998-241420; 1998-251865; 1998-251864
DOC. NO. CPI: C1998-078542 [23]
TITLE: New 1-substituted-(substituted (hetero)aryl)-fused
pyrazole compounds - useful as cardiovascular agents,
(vasodilators) for treatment of hypertension, cardiac
insufficiency, angina, arrhythmias, ischaemia, etc.
DERWENT CLASS: B02
INVENTOR: ARLT D; DEMBOWSKY K; FEURER A; FUERSTNER C; FURSTNER C;
HUETTER J; HUTTER J; JAETSCH T; KAST R; NIEWOEHNER U;
NIEWOHNER U; PERZBORN E; ROBYR C; STASCH J; STRAUB A
PATENT ASSIGNEE: (FARB-C) BAYER AG; (FARB-C) BAYER HEALTHCARE AG
COUNTRY COUNT: 79

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 19642323	A1	19980416	(199823)*	DE	14[0]	
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WO 9816507	A2	19980423	(199823)	DE		
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AU 9749430	A	19980511	(199837)	EN		
NO 9901732	A	19990604	(199932)	NO		
CZ 9901309	A3	19990714	(199933)	CS		
EP 934311	A2	19990811	(199936)	DE		
SK 9900487	A3	20000214	(200020)	SK		
CN 1241188	A	20000112	(200022)	ZH		
BR 9712523	A	20000509	(200033)	PT		
US 6166027	A	20001226	(200103)	EN		
MX 9903479	A1	20000101	(200115)	ES		
JP 2001505550	W	20010424	(200130)	JA	254	
HU 2000001115	A2	20010428	(200131)	HU		
AU 736303	B	20010726	(200149)	EN		
NZ 335092	A	20020201	(200214)	EN		
US 6387940	B1	20020514	(200239)	EN		
US 6410740	B1	20020625	(200246)	EN		
US 6414009	B1	20020702	(200248)	EN		
US 6462068	B1	20021008	(200269)	EN		
TW 504513	A	20021001	(200337)	ZH		
MX 207802	B	20020514	(200365)	ES		
EP 1686127	A1	20060802	(200650)	DE		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE

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DE 19642323 A1	DE 1996-19642323 19961014
AU 9749430 A	AU 1997-49430 19971002
AU 736303 B	AU 1997-49430 19971002
BR 9712523 A	BR 1997-12523 19971002
CN 1241188 A	CN 1997-180638 19971002
EP 934311 A2	EP 1997-912102 19971002
NZ 335092 A	NZ 1997-335092 19971002
WO 9816507 A2	***WO 1997-EP5432

19971002***

NO 9901732 A	WO 1997-EP5432 19971002
CZ 9901309 A3	WO 1997-EP5432 19971002
EP 934311 A2	WO 1997-EP5432 19971002
SK 9900487 A3	WO 1997-EP5432 19971002
BR 9712523 A	WO 1997-EP5432 19971002
US 6166027 A	WO 1997-EP5432 19971002
JP 2001505550 W	WO 1997-EP5432 19971002
HU 2000001115 A2	WO 1997-EP5432 19971002
NZ 335092 A	WO 1997-EP5432 19971002
US 6387940 B1 Div Ex	WO 1997-EP5432 19971002
US 6410740 B1 Div Ex	WO 1997-EP5432 19971002
US 6414009 B1 Div Ex	WO 1997-EP5432 19971002
US 6462068 B1 Div Ex	WO 1997-EP5432 19971002
MX 207802 B	WO 1997-EP5432 19971002
TW 504513 A	TW 1997-115204 19971014
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CZ 9901309 A3	CZ 1999-1309 19971002
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US 6414009 B1 Div Ex	US 1999-284172 19990409
US 6462068 B1 Div Ex	US 1999-284172 19990409
NO 9901732 A	NO 1999-1732 19990413
MX 9903479 A1	MX 1999-3479 19990414
MX 207802 B	MX 1999-3479 19990414
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US 6462068 B1	US 2000-644305 20000823
US 6410740 B1	US 2000-645834 20000825
US 6414009 B1	US 2000-648082 20000825
EP 1686127 A1 Div Ex	EP 1997-912102 19980423
EP 1686127 A1	EP 2005-22495 19971002

FILING DETAILS:

PATENT NO	KIND		PATENT NO	
AU 736303	B	Previous Publ	AU 9749430	A
US 6387940	B1	Div ex	US 6166027	A
US 6410740	B1	Div ex	US 6166027	A
US 6414009	B1	Div ex	US 6166027	A
US 6462068	B1	Div ex	US 6166027	A
AU 9749430	A	Based on	WO 9816507	A
CZ 9901309	A3	Based on	WO 9816507	A
EP 934311	A2	Based on	WO 9816507	A

BR 9712523	A	Based on	WO 9816507	A
US 6166027	A	Based on	WO 9816507	A
JP 2001505550	W	Based on	WO 9816507	A
HU 2000001115	A2	Based on	WO 9816507	A
AU 736303	B	Based on	WO 9816507	A
NZ 335092	A	Based on	WO 9816507	A
EP 1686127	A1	Div ex	EP 934311	A

PRIORITY APPLN. INFO: DE 1996-19642323 19961014
DE 1996-19642319 19961014
DE 1996-19642320 19961014
DE 1996-19642322 19961014
WO 1997-EP5432 19971002

AN 1998-251866 [23] WPIX

CR 1998-241420; 1998-251865; 1998-251864

AB DE 19642323 A1 UPAB: 20060114

1-(Benzyl or heterocyclylmethyl)-3-(substituted (hetero)aromatic)-fused pyrazole compounds of formula (I) are new. X = O, S NH or CH=CH, and the X-containing ring is optionally substituted by R14; R1 = phenyl, 2-thienyl, 2-pyrrolyl or 2-furanyl, all substituted by R4; R4 = CHOHCH3, 2-6C alkyl (substituted by OH or 1-4C alkoxy), CHO, 1-6C acyl, NO2, 1-6C alkyl (optionally substituted by NH2, N3 or OR5), CH2OR13, or a group of formula (a) or (b); A = phenyl (optionally mono-, di- or trisubstituted by alkyl, alkoxy, alkoxycarbonyl, (each with up to 6C), COOH, NO2, CN, CF3, N3 or halo; R5 = 1-5C acyl, SiR6R7R8, CH2OR10 or a group of formula (c); R6-R8 = 6-10C aryl, 1-6C alkyl; R9, R13 = H or 1-3C alkyl; R10-R12 = H or 1-4C alkyl; R14 = OH, halo, 1-4C alkoxy or 1-4C alkyl; a = 1-3: provided that when R4 = CH2OR13, then A = substituted phenyl where the substituents include alkoxycarbonyl, COOH, NO2, CN, CF3 or N3.

USE - (I), and combinations of (I) with organic nitrate compounds and/or NO donors are used in therapeutics; (I) are used to treat cardiovascular disease (claimed). (I) relax blood vessels, inhibit thrombocyte aggregation, reduce blood pressure and increase coronary blood flow by directly stimulating soluble guanylate cyclase and increasing intracellular cGMP levels. (I) increase the effects of substances that increase cGMP levels, such as endothelium-derived relaxing factor, NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine derivatives. They can be used in human and veterinary medicine for the treatment of hypertension, cardiac insufficiency, angina, arrhythmias,

thromboembolic disorders, ischaemias, (myocardial infarction and stroke),
peripheral perfusion disorders, arteriosclerosis, prostate hypertrophy,
erectile dysfunction and incontinence and for the prevention of restenosis
after angioplasty. - Daily dose is 0.5-500 mg/kg, preferably 5-100 mg/kg.

Member(0002)
ABEQ WO 1998016507 A2 UPAB 20060114
1-(Benzyl or heterocyclylmethyl)-3-(substituted (hetero)aromatic)-fused
pyrazole compounds of formula (I) are new. X = O, S NH or CH=CH, and the
X-containing ring is optionally substituted by R14; R1 = phenyl,
2-thienyl, 2-pyrrolyl or 2-furanyl, all substituted by R4; R4 = CHOHCH3,
2-6C alkyl (substituted by OH or 1-4C alkoxy), CHO, 1-6C acyl, NO2, 1-6C
alkyl (optionally substituted by NH2, N3 or OR5), CH2OR13, or a group of
formula (a) or (b); A = phenyl (optionally mono-, di- or trisubstituted by
alkyl, alkoxy, alkoxycarbonyl, (each with up to 6C), COOH, NO2, CN, CF3,
N3 or halo; R5 = 1-5C acyl, SiR6R7R8, CH2OR10 or a group of formula (c);
R6-R8 = 6-10C aryl, 1-6C alkyl; R9, R13 = H or 1-3C alkyl; R10-R12 = H or
1-4C alkyl; R14 = OH, halo, 1-4C alkoxy or 1-4C alkyl; a = 1-3: provided
that when R4 = CH2OR13, then A = substituted phenyl where the substituents
include alkoxycarbonyl, COOH, NO2, CN, CF3 or N3.
USE - (I), and combinations of (I) with organic nitrate compounds
and/or NO donors are used in therapeutics; (I) are used to treat
cardiovascular disease (claimed). (I) relax blood vessels, inhibit
thrombocyte aggregation, reduce blood pressure and increase coronary blood
flow by directly stimulating soluble guanylate cyclase and increasing
intracellular cGMP levels. (I) increase the effects of substances that
increase cGMP levels, such as endothelium-derived relaxing factor,
NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine
derivatives. They can be used in human and veterinary medicine for the
treatment of hypertension, cardiac insufficiency, angina, arrhythmias,
thromboembolic disorders, ischaemias, (myocardial infarction and stroke),
peripheral perfusion disorders, arteriosclerosis, prostate hypertrophy,
erectile dysfunction and incontinence and for the prevention of restenosis

after angioplasty. - Daily dose is 0.5-500 mg/kg, preferably 5-100 mg/kg.

Member(0006)
ABEQ EP 934311 A2 UPAB 20060114
1-(Benzyl or heterocyclylmethyl)-3-(substituted (hetero)aromatic)-fused
pyrazole compounds of formula (I) are new. X = O, S NH or CH=CH, and the
X-containing ring is optionally substituted by R14; R1 = phenyl,
2-thienyl, 2-pyrrolyl or 2-furanyl, all substituted by R4; R4 = CHOHCH3,
2-6C alkyl (substituted by OH or 1-4C alkoxy), CHO, 1-6C acyl, NO2, 1-6C
alkyl (optionally substituted by NH2, N3 or OR5), CH2OR13, or a group of
formula (a) or (b); A = phenyl (optionally mono-, di- or trisubstituted by
alkyl, alkoxy, alkoxycarbonyl, (each with up to 6C), COOH, NO2, CN, CF3,
N3 or halo; R5 = 1-5C acyl, SiR6R7R8, CH2OR10 or a group of formula (c);
R6-R8 = 6-10C aryl, 1-6C alkyl; R9, R13 = H or 1-3C alkyl; R10-R12 = H or
1-4C alkyl; R14 = OH, halo, 1-4C alkoxy or 1-4C alkyl; a = 1-3: provided
that when R4 = CH2OR13, then A = substituted phenyl where the substituents
include alkoxycarbonyl, COOH, NO2, CN, CF3 or N3.
USE - (I), and combinations of (I) with organic nitrate compounds
and/or NO donors are used in therapeutics; (I) are used to treat
cardiovascular disease (claimed). (I) relax blood vessels, inhibit
thrombocyte aggregation, reduce blood pressure and increase coronary blood
flow by directly stimulating soluble guanylate cyclase and increasing
intracellular cGMP levels. (I) increase the effects of substances that
increase cGMP levels, such as endothelium-derived relaxing factor,
NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine
derivatives. They can be used in human and veterinary medicine for the
treatment of hypertension, cardiac insufficiency, angina, arrhythmias,
thromboembolic disorders, ischaemias, (myocardial infarction and stroke),
peripheral perfusion disorders, arteriosclerosis, prostate hypertrophy,
erectile dysfunction and incontinence and for the prevention of restenosis
after angioplasty. - Daily dose is 0.5-500 mg/kg, preferably 5-100 mg/kg.

Member(0008)
ABEQ CN 1241188 A UPAB 20060114

1-(Benzyl or heterocyclylmethyl)-3-(substituted (hetero)aromatic)-fused
pyrazole compounds of formula (I) are new. X = O, S NH or CH=CH, and the
X-containing ring is optionally substituted by R14; R1 = phenyl,
2-thienyl, 2-pyrrolyl or 2-furanyl, all substituted by R4; R4 = CHOHCH3,
2-6C alkyl (substituted by OH or 1-4C alkoxy), CHO, 1-6C acyl, NO2, 1-6C
alkyl (optionally substituted by NH2, N3 or OR5), CH2OR13, or a group of
formula (a) or (b); A = phenyl (optionally mono-, di- or trisubstituted by
alkyl, alkoxy, alkoxycarbonyl, (each with up to 6C), COOH, NO2, CN, CF3,
N3 or halo; R5 = 1-5C acyl, SiR6R7R8, CH2OR10 or a group of formula (c);
R6-R8 = 6-10C aryl, 1-6C alkyl; R9, R13 = H or 1-3C alkyl; R10-R12 = H or
1-4C alkyl; R14 = OH, halo, 1-4C alkoxy or 1-4C alkyl; a = 1-3: provided
that when R4 = CH2OR13, then A = substituted phenyl where the substituents
include alkoxycarbonyl, COOH, NO2, CN, CF3 or N3.
USE - (I), and combinations of (I) with organic nitrate compounds
and/or NO donors are used in therapeutics; (I) are used to treat
cardiovascular disease (claimed). (I) relax blood vessels, inhibit
thrombocyte aggregation, reduce blood pressure and increase coronary blood
flow by directly stimulating soluble guanylate cyclase and increasing
intracellular cGMP levels. (I) increase the effects of substances that
increase cGMP levels, such as endothelium-derived relaxing factor,
NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine
derivatives. They can be used in human and veterinary medicine for the
treatment of hypertension, cardiac insufficiency, angina, arrhythmias,
thromboembolic disorders, ischaemias, (myocardial infarction and stroke),
peripheral perfusion disorders, arteriosclerosis, prostate hypertrophy,
erectile dysfunction and incontinence and for the prevention of restenosis
after angioplasty. - Daily dose is 0.5-500 mg/kg, preferably 5-100 mg/kg.

Member(0010)
ABEQ US 6166027 A UPAB 20060114
1-(Benzyl or heterocyclylmethyl)-3-(substituted (hetero)aromatic)-fused
pyrazole compounds of formula (I) are new. X = O, S NH or CH=CH, and the
X-containing ring is optionally substituted by R14; R1 = phenyl,

2-thienyl, 2-pyrrolyl or 2-furanyl, all substituted by R4; R4 = CHOHCH3,
2-6C alkyl (substituted by OH or 1-4C alkoxy), CHO, 1-6C acyl, NO2, 1-6C
alkyl (optionally substituted by NH2, N3 or OR5), CH2OR13, or a group of
formula (a) or (b); A = phenyl (optionally mono-, di- or trisubstituted by
alkyl, alkoxy, alkoxycarbonyl, (each with up to 6C), COOH, NO2, CN, CF3,
N3 or halo; R5 = 1-5C acyl, SiR6R7R8, CH2OR10 or a group of formula (c);
R6-R8 = 6-10C aryl, 1-6C alkyl; R9, R13 = H or 1-3C alkyl; R10-R12 = H or
1-4C alkyl; R14 = OH, halo, 1-4C alkoxy or 1-4C alkyl; a = 1-3: provided
that when R4 = CH2OR13, then A = substituted phenyl where the substituents
include alkoxycarbonyl, COOH, NO2, CN, CF3 or N3.
USE - (I), and combinations of (I) with organic nitrate compounds
and/or NO donors are used in therapeutics; (I) are used to treat
cardiovascular disease (claimed). (I) relax blood vessels, inhibit
thrombocyte aggregation, reduce blood pressure and increase coronary blood
flow by directly stimulating soluble guanylate cyclase and increasing
intracellular cGMP levels. (I) increase the effects of substances that
increase cGMP levels, such as endothelium-derived relaxing factor,
NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine
derivatives. They can be used in human and veterinary medicine for the
treatment of hypertension, cardiac insufficiency, angina, arrhythmias,
thromboembolic disorders, ischaemias, (myocardial infarction and stroke),
peripheral perfusion disorders, arteriosclerosis, prostate hypertrophy,
erectile dysfunction and incontinence and for the prevention of restenosis
after angioplasty. - Daily dose is 0.5-500 mg/kg, preferably 5-100 mg/kg.

Member(0012)
ABEQ JP 2001505550 W UPAB 20060114
1-(Benzyl or heterocyclylmethyl)-3-(substituted (hetero)aromatic)-fused
pyrazole compounds of formula (I) are new. X = O, S NH or CH=CH, and the
X-containing ring is optionally substituted by R14; R1 = phenyl,
2-thienyl, 2-pyrrolyl or 2-furanyl, all substituted by R4; R4 = CHOHCH3,
2-6C alkyl (substituted by OH or 1-4C alkoxy), CHO, 1-6C acyl, NO2, 1-6C
alkyl (optionally substituted by NH2, N3 or OR5), CH2OR13, or a group of

formula (a) or (b); A = phenyl (optionally mono-, di- or trisubstituted by alkyl, alkoxy, alkoxycarbonyl, (each with up to 6C), COOH, NO2, CN, CF3, N3 or halo; R5 = 1-5C acyl, SiR6R7R8, CH2OR10 or a group of formula (c); R6-R8 = 6-10C aryl, 1-6C alkyl; R9, R13 = H or 1-3C alkyl; R10-R12 = H or 1-4C alkyl; R14 = OH, halo, 1-4C alkoxy or 1-4C alkyl; a = 1-3: provided that when R4 = CH2OR13, then A = substituted phenyl where the substituents include alkoxycarbonyl, COOH, NO2, CN, CF3 or N3.

USE - (I), and combinations of (I) with organic nitrate compounds and/or NO donors are used in therapeutics; (I) are used to treat cardiovascular disease (claimed). (I) relax blood vessels, inhibit thrombocyte aggregation, reduce blood pressure and increase coronary blood flow by directly stimulating soluble guanylate cyclase and increasing intracellular cGMP levels. (I) increase the effects of substances that increase cGMP levels, such as endothelium-derived relaxing factor, NO-donors, protoporphyrin IX, arachidonic acid and phenylhydrazine derivatives. They can be used in human and veterinary medicine for the treatment of hypertension, cardiac insufficiency, angina, arrhythmias, thromboembolic disorders, ischaemias, (myocardial infarction and stroke), peripheral perfusion disorders, arteriosclerosis, prostate hypertrophy, erectile dysfunction and incontinence and for the prevention of restenosis after angioplasty. - Daily dose is 0.5-500 mg/kg, preferably 5-100 mg/kg.